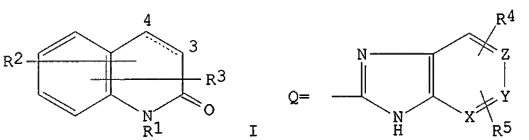
ACCESSION NUMBER: 110:154319 CA
TITLE: Preparation of 6-heterocyclylcarbostyril derivatives for treatment of heart diseases
INVENTOR(S): Tamada, Shigeharu; Fujioka, Takafumi; Ogawa, Hidenori; Teramoto, Shuji; Kondo, Kazumi
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 30 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63230687	A2	19880927	JP 1987-65202	19870318
JP 07121937	B4	19951225		

PRIORITY APPLN. INFO.: JP 1987-65202 19870318
OTHER SOURCE(S): MARPAT 110:154319
GI



AB The title compds. [I, R1 = H, lower alkyl, lower alkenyl, phenyl-lower alkyl; R2 = Q (wherein X, Y, Z = CH or N, R4, R5 = H, lower alkoxy, halo, or NH2); R3 = H, halo, NO2, NH2, lower alkanoylamino, lower alkoxy, OH, lower alkyl, lower alkylthio, satd. 5- or 6-membered (lower alkyl) heterocyclyl, 5- or 6-membered heterocyclyl-lower alkyl; the linkage between 3- and 4-position is a single or double bond] were prepd. as cardiotonics, etc. 7-Methoxy-6-carboxy-3,4-dihydrocarbostyril 0.3 and 3,4-diaminopyridine 0.16 g were added to a 1:10 mixt. of P2O5 and Me2SO3H. The mixt. was heated 2 h at 100.degree., poured into ice-water, and made weakly alk. with 10% aq. NaOH and satd. NaHCO3. The pptd. crystals were removal by filtration, washed with H2O, dried and purified on a silica gel chromatog. to give, after acidification with HCl in EtOH, 0.29 g 7-methoxy-6-[1H-imidazo[4,5-c]pyridin-2-yl]-3,4-dihydrocarbostyril (II)-HCl.H2O. II.HCl.H2O at 300 n mol increased myocardial contractility 23.1% and coronary blood flow 0.4 mL/min in dog heart in vitro. 1 ML ampules were formulated from II 500, polyethyleneglycol 0.3, NaCl 0.9, polyoxyethylenesorbitan monooleate 0.4, sodium metabisulfite 0.1, methylparaben 0.18, propylparaben 0.02 g, and water 100 mL.

IT **119714-56-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiotonic)

RN 119714-56-6 CA

CN 2(1H)-Quinolinone, 3-(1H-imidazo[4,5-b]pyridin-2-yl)-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119714-55-5
CMF C15 H10 N4 O